

09868894

of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 12:09:16 ON 09 OCT 2002

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:09:26 ON 09 OCT 2002

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STRUCTURE FILE UPDATES: 7 OCT 2002 HIGHEST RN 459783-15-4

DICTIONARY FILE UPDATES: 7 OCT 2002 HIGHEST RN 459783-15-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

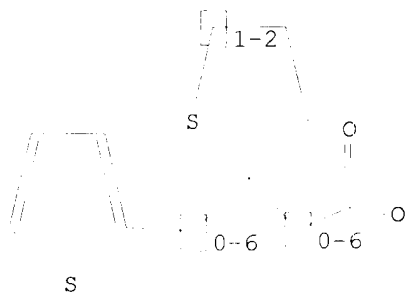
Uploading 09868894.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

09868894

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1613SXW

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 8 Apr 22 Federal Research in Progress (FEDRIP) now available  
NEWS 9 Jun 03 New e-mail delivery for search results now available  
NEWS 10 Jun 10 MEDLINE Reload  
NEWS 11 Jun 10 FCTFULL has been reloaded  
NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment  
NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;  
saved answer sets no longer valid  
NEWS 14 Jul 29 Enhanced polymer searching in REGISTRY  
NEWS 15 Jul 30 NETFIRST to be removed from STN  
NEWS 16 Aug 08 CANCERLIT reload  
NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN  
NEWS 18 Aug 08 NTIS has been reloaded and enhanced  
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)  
now available on STN  
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NEWS 21 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded  
NEWS 22 Aug 26 Sequence searching in REGISTRY enhanced  
NEWS 23 Sep 03 JAFIO has been reloaded and enhanced  
NEWS 24 Sep 16 Experimental properties added to the REGISTRY file  
NEWS 25 Sep 16 Indexing added to some pre-1967 records in CA/CAPLUS  
NEWS 26 Sep 16 CA Section Thesaurus available in CAPLUS and CA  
NEWS 27 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985  
  
NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,  
CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),  
AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002  
  
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=> s 11 sss sam

SAMPLE SEARCH INITIATED 12:09:49 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 82 TO ITERATE

100.0% PROCESSED 82 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1097 TO 2183  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 12:09:57 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1660 TO ITERATE

100.0% PROCESSED 1660 ITERATIONS 15 ANSWERS  
SEARCH TIME: 00.00.06

L3 15 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.28	140.49

FILE 'CAPLUS' ENTERED AT 12:10:07 ON 09 OCT 2002  
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FILE COVERS 1907 - 9 Oct 2002 VOL 137 ISS 15  
FILE LAST UPDATED: 8 Oct 2002 (20021008/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s 13 full

L4 1 L3

09868894

=> d l4 ibib abs hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:475658 CAPLUS

DOCUMENT NUMBER: 133:104964

TITLE: Preparation of tetrahydro-2H-thiopyran-1,1-dioxides as inhibitors of matrix metalloproteinases or tumor necrosis factor .alpha.

INVENTOR(S): Taniguchi, Kiyoshi; Neya, Masahiro; Terasawa, Takeshi; Yamazaki, Hitoshi; Sato, Kentaro; Hosoi, Kumi; Tomishima, Yasuyo; Yoshida, Noriko; Imamura, Yoshimasa; Takasugi, Hisashi; Setoi, Hiroyuki

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 336 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

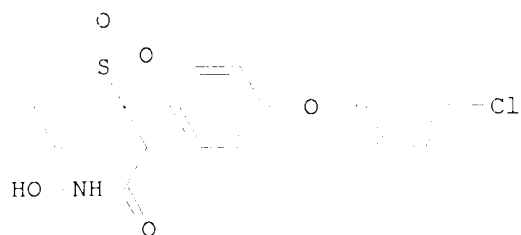
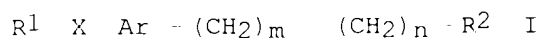
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040576	A2	20000713	WO 2000-JP18	20000106
WO 2000040576	A3	20010322		
W:	AE, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1140895	A2	20011010	EP 2000-900122	20000106
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 2000008589	A	20020129	BR 2000-8589	20000106
PRIORITY APPLN. INFO.:			AU 1999-8068	A 19990107
			AU 1999-1702	A 19990719
			WO 2000-JP18	W 20000106

OTHER SOURCE(S): MARPAT 133:104964

GI



AB The title compds. (I) [wherein R<sup>1</sup> = alkyl, halogen, (un)substituted heterocyclic or aryl; R<sup>2</sup> = (protected or amidated) carboxy; Ar = (un)substituted aryl heterocyclic; A = alkylene; X = O or a single bond; Y = S, S(O), or SO<sub>2</sub>; Z = methylene, S, S(O), or SO<sub>2</sub>; m and n = independently 0-6, and 1 .ltoreq. m+n .ltoreq. 6] and their salts were prepd. by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides. For example, II was synthesized in a multi-step sequence involving (1) etherification of 3,4,5,6-tetrahydro-2-(4-hydroxyphenyl)-2H-thiopyran (preparation given) with 4-bromochlorobenzene, (2) addn. of tert-Bu bromoacetate, (3) formation of the 1,1-dioxide using oxone, (4) deesterification with CF<sub>3</sub>CO<sub>2</sub>H, and (5) amidation of the acid with hydroxylammonium chloride. In an in vitro assay, II suppressed matrix metalloproteinase 13 (MMP-13) activity with IC<sub>50</sub> of 2.2 nM. I are useful for the treatment and/or prevention of diseases such as stroke, arthritis, cancer, tissue ulceration, decubitus ulcer, restenosis, periodontal disease, epidermolysis bullosa, scleritis, psoriasis, and other disease characterized by MMP activity, as well as IADS, sepsis, septic shock, and other diseases caused by the prodn. of TNF .alpha. (no data).

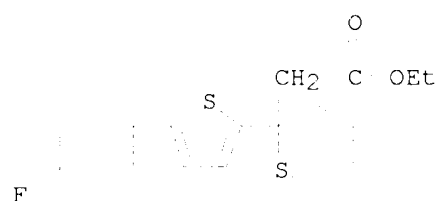
IT **282111-59-5P 282112-21-4P 282112-62-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF .alpha. inhibitors by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides)

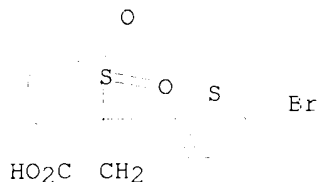
RN 282111-59-5 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)

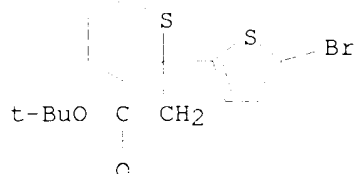


C9868894

RN 282112-21-4 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide  
(9CI) (CA INDEX NAME)



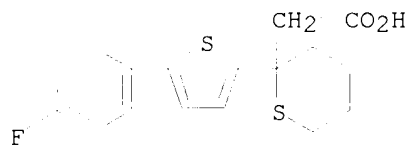
RN 282112-62-3 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 282111-40-4P 282111-49-3P 282111-65-3P  
282111-66-4P 282112-13-4P 282112-20-3P  
282115-44-0P 282115-45-1P 282115-46-2P  
282115-47-3P 282533-82-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF .alpha. inhibitors by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides)

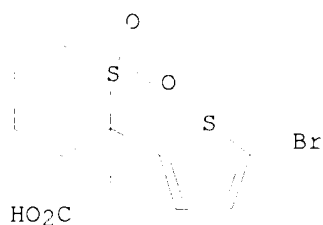
RN 282111-40-4 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro-  
(9CI) (CA INDEX NAME)



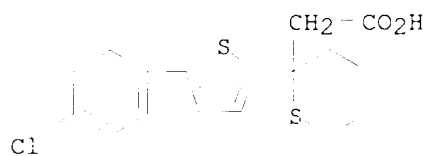
RN 282111-49-3 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide,  
(-)- (9CI) (CA INDEX NAME)

Rotation (-).

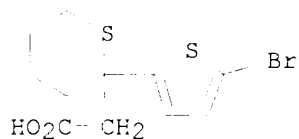
09868894



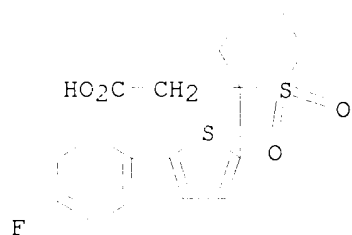
RN 282111-65-3 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-chlorophenyl)-2-thienyl]tetrahydro- (9CI) (CA INDEX NAME)



RN 282111-66-4 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro- (9CI) (CA INDEX NAME)

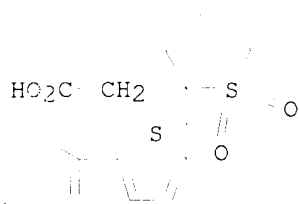


RN 282112-13-4 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 282112-20-3 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-chlorophenyl)-2-thienyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

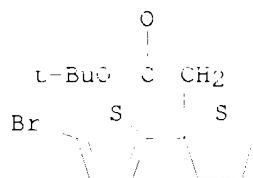
09868894



Cl

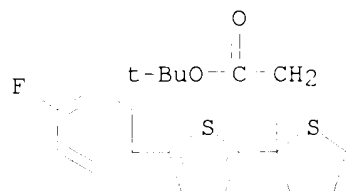
RN 282115-44-0 CAPLUS

CN [2,2'-Bithiophene]-2(3H)-acetic acid, 5'-bromo-4,5-dihydro-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



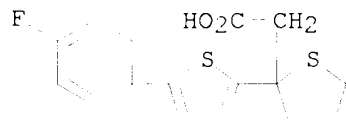
RN 282115-45-1 CAPLUS

CN [2,2'-Bithiophene]-2(3H)-acetic acid, 5'-(4-fluorophenyl)-4,5-dihydro-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



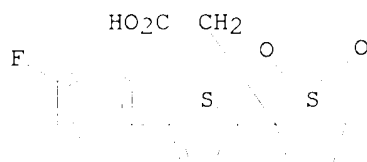
RN 282115-46-2 CAPLUS

CN [2,2'-Bithiophene]-2(3H)-acetic acid, 5'-(4-fluorophenyl)-4,5-dihydro-,  
(9CI) (CA INDEX NAME)



RN 282115-47-3 CAPLUS

CN [2,2'-Bithiophene]-2(3H)-acetic acid, 5'-(4-fluorophenyl)-4,5-dihydro-,  
1,1-dioxide (9CI) (CA INDEX NAME)



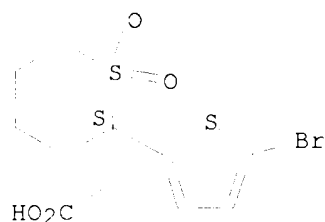


09868894

RN 282533-82-8 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide,  
(2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 282117-06-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(prepn. of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF .alpha.  
inhibitors by addn. reactions of alkyl or aryl halides with  
tetrahydro-2H-thiopyrans and subsequent oxidn. to form the  
1,1-dioxides)

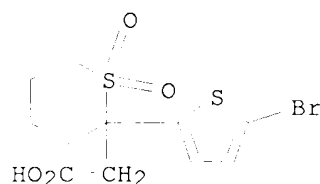
RN 282117-06-0 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide,  
compd. with (.alpha.R)-.alpha.-methylbenzenemethanamine (1:1) (9CI) (CA  
INDEX NAME)

CM 1

CRN 282112-21-4

CMF C11 H13 Br O4 S2

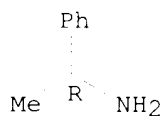


CM 2

CRN 3886-69-9

CMF C8 H11 N

Absolute stereochemistry.



09868894

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PASSWORD:

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NEWS 11 Jun 10 PCTFULL has been reloaded  
NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment  
NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;  
saved answer sets no longer valid  
NEWS 14 Jul 29 Enhanced polymer searching in REGISTRY  
NEWS 15 Jul 30 NETFIRST to be removed from STN  
NEWS 16 Aug 08 CANCERLIT reload  
NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN  
NEWS 18 Aug 08 NTIS has been reloaded and enhanced  
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)  
now available on STN  
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NEWS 21 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded  
NEWS 22 Aug 26 Sequence searching in REGISTRY enhanced  
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NEWS 26 Sep 16 CA Section Thesaurus available in CAPLUS and CA  
NEWS 27 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985  
  
NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,  
CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),  
AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002  
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NEWS INTER General Internet Information  
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NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 12:20:22 ON 09 OCT 2002

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:20:30 ON 09 OCT 2002

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STRUCTURE FILE UPDATES: 7 OCT 2002 HIGHEST RN 459783-15-4

DICTIONARY FILE UPDATES: 7 OCT 2002 HIGHEST RN 459783-15-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

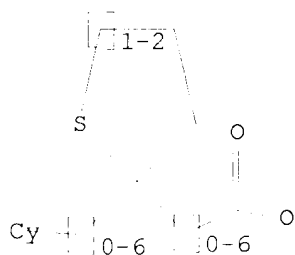
Uploading 09868894b.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

09868894

=> s l1 sss sam

SAMPLE SEARCH INITIATED 12:20:46 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 921 TO ITERATE

100.0% PROCESSED 921 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 16600 TO 20240

PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 12:20:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 19418 TO ITERATE

100.0% PROCESSED 19418 ITERATIONS

73 ANSWERS

SEARCH TIME: 00.00.04

L3 73 SEA SSS FUL L1

=> fil cap;us

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

140.28

140.49

FILE 'CAPLUS' ENTERED AT 12:21:00 ON 09 OCT 2002

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FILE COVERS 1907 - 9 Oct 2002 VOL 137 ISS 15

FILE LAST UPDATED: 8 Oct 2002 (20021008/ED)

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The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter

09868894

"HELP COMMANDS" at an arrow prompt (=>).

=> fil caplus  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.40	140.89

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 12:21:05 ON 09 OCT 2002  
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FILE COVERS 1907 - 9 Oct 2002 VOL 137 ISS 15  
FILE LAST UPDATED: 8 Oct 2002 (20021008/ED)

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=> s l3 full  
L4 17 L3

=> d l4 1-17 ibib abs hitstr

L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:481440 CAPLUS

DOCUMENT NUMBER: 135:210899

TITLE: The Use of Sulfur Ylides in the Synthesis of Substituted Indoles

AUTHOR(S): Kennedy, Abigail R.; Taday, Michael H.; Rainier, Jon D.

CORPORATE SOURCE: Department of Chemistry, The University of Arizona, Tucson, AZ, 85721, USA

SOURCE: Organic Letters (2001), 3(15), 2407-2409

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB C-10 thioindoles (3-ethylthiomethylindoles) undergo fragmentation-coupling reactions when exposed to rhodium carbenoids. In an analogous fashion, keto ester- and malonate-substituted carbenoids insert into indole C-2 thioethers. In contrast, vinylogous carbenoids alkylate indole C-2 thioethers at C-3.

IT **357981-89-6P**

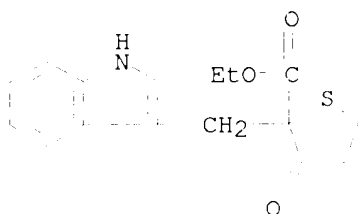
RL: SPN (Synthetic preparation); PREP (Preparation)

09868894

(substitution reactions of indole thioethers via sulfur ylides)

RN 357981-89-6 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-2-(1H-indol-3-ylmethyl)-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:475658 CAPLUS

DOCUMENT NUMBER: 133:104964

TITLE: Preparation of tetrahydro-2H-thiopyran-1,1-dioxides as inhibitors of matrix metalloproteinases or tumor necrosis factor .alpha.

INVENTOR(S): Taniguchi, Kiyoshi; Neya, Masahiro; Terasawa, Takeshi; Yamazaki, Hitoshi; Sato, Kentaro; Hosoi, Kumi; Tomishima, Yasuyo; Yoshida, Noriko; Imamura, Yoshimasa; Takasugi, Hisashi; Setoi, Hiroyuki

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 336 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

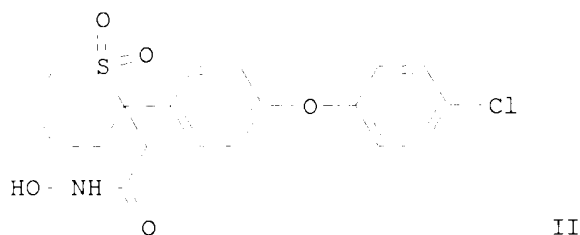
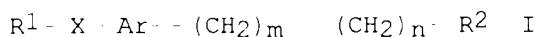
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040576	A2	20000713	WO 2000-JP18	20000106
WO 2000040576	A3	20010322		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140895	A2	20011010	EP 2000-900122	20000106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008589	A	20020129	BR 2000-8589	20000106
PRIORITY APPLN. INFO.:			AU 1999-8068	A 19990107
			AU 1999-1702	A 19990719
			WO 2000-JP18	W 20000106

OTHER SOURCE(S): MARPAT 133:104964

GI



AB The title compds. (I) [wherein R<sup>1</sup> = alkyl, halogen, (un)substituted heterocyclic or aryl; R<sup>2</sup> = (protected or amidated) carboxy; Ar = (un)substituted aryl heterocyclic; A = alkylene; X = O or a single bond; Y = S, S(O), or SO<sub>2</sub>; Z = methylene, S, S(O), or SO<sub>2</sub>; m and n = independently 0-6, and 1 .ltoreq. m+n .ltoreq. 6] and their salts were prepd. by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides. For example, II was synthesized in a multi-step sequence involving (1) etherification of 3,4,5,6-tetrahydro-2-(4-hydroxyphenyl)-2H-thiopyran (preparation given) with 4-bromochlorobenzene, (2) addn. of tert-Bu bromoacetate, (3) formation of the 1,1-dioxide using oxone, (4) deesterification with CF<sub>3</sub>CO<sub>2</sub>H, and (5) amidation of the acid with hydroxylammonium chloride. In an in vitro assay, II suppressed matrix metalloproteinase 13 (MMP-13) activity with IC<sub>50</sub> of 2.2 nM. I are useful for the treatment and/or prevention of diseases such as stroke, arthritis, cancer, tissue ulceration, decubitus ulcer, restenosis, periodontal disease, epidermolysis bullosa, scleritis, psoriasis, and other disease characterized by MMP activity, as well as IADS, sepsis, septic shock, and other diseases caused by the prodn. of TNF .alpha. (no data).

IT 282111-38-0P 282111-44-8P 282111-45-9P

282111-46-0P 282111-48-2P 282111-59-5P

282111-61-9P 282112-11-2P 282112-21-4P

282112-23-6P 282112-26-9P 282112-62-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

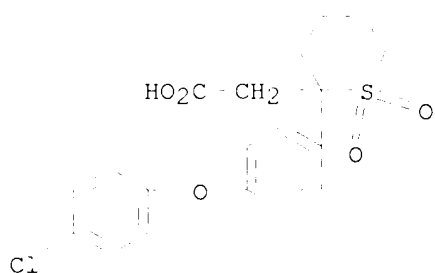
(prepn. of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF .alpha. inhibitors by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides)

RN 282111-38-0 CAPLUS

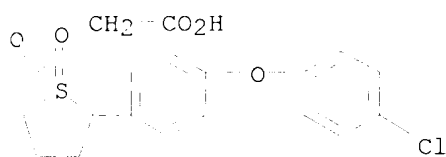
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



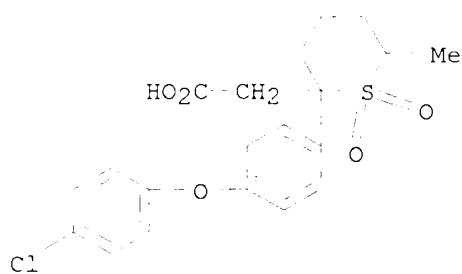
09868894



RN 282111-44-8 CAPLUS  
CN 2-Thiopheneacetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-,  
1,1-dioxide (9CI) (CA INDEX NAME)

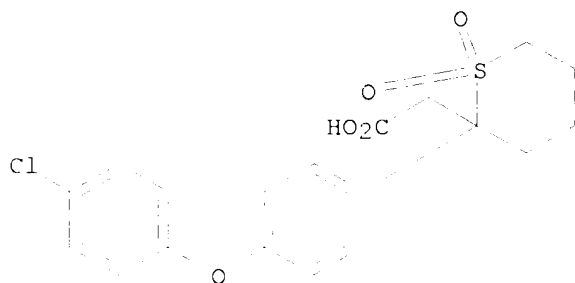


RN 282111-45-9 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-6-  
methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 282111-46-0 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-,  
1,1-dioxide, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 282111-48-2 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-, (-)-  
(9CI) (CA INDEX NAME)

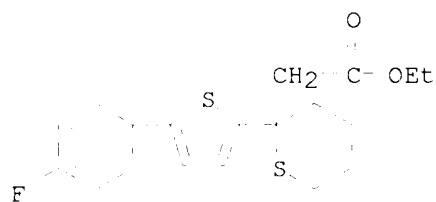
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Rotation (-).



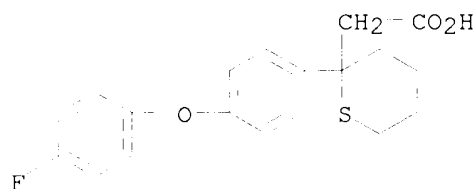
RN 282111-59-5 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



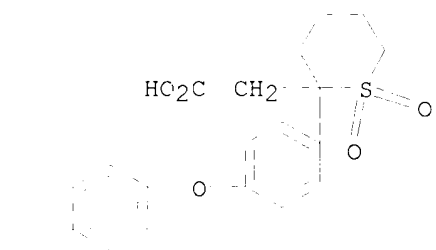
RN 282111-61-9 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-fluorophenoxy)phenyl]tetrahydro- (9CI) (CA INDEX NAME)



RN 282112-11-2 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-bromophenoxy)phenyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



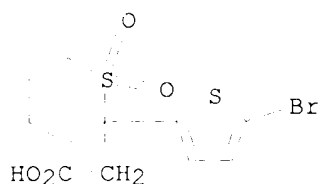
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RN 282112-21-4 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide

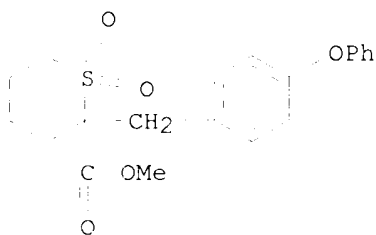
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(9CI) (CA INDEX NAME)



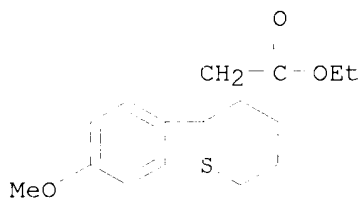
RN 282112-23-6 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-2-[(4-phenoxymethyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



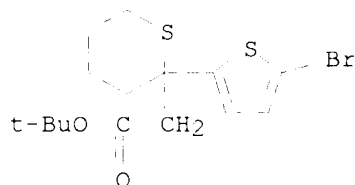
RN 282112-26-9 CAPLUS

CN 2H-Thiopyran-2-acetic acid, tetrahydro-2-(4-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 282112-62-3 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 282111-39-1P 282111-40-4P 282111-41-5P  
282111-42-6P 282111-47-1P 282111-49-3P  
282111-50-6P 282111-57-3P 282111-58-4P  
282111-60-8P 282111-62-0P 282111-63-1P  
282111-64-2P 282111-65-3P 282111-66-4P

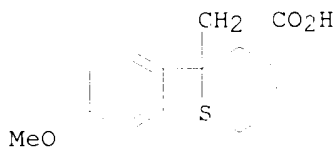
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 282112-14-5P 282112-15-6P 282112-16-7P  
 282112-17-8P 282112-19-0P 282112-20-3P  
 282112-22-5P 282112-24-7P 282112-25-8P  
 282115-44-0P 282115-45-1P 282115-46-2P  
 282115-47-3P 282533-82-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pregn. of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF .alpha. inhibitors by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides)

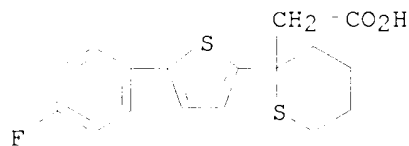
RN 282111-39-1 CAPLUS

CN 2H-Thiopyran-2-acetic acid, tetrahydro-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



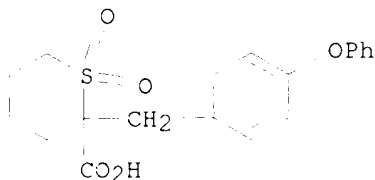
RN 282111-40-4 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro- (9CI) (CA INDEX NAME)



RN 282111-41-5 CAPLUS

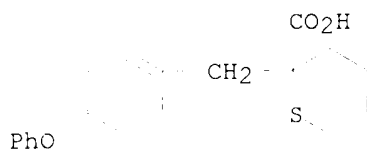
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-2-[(4-phenoxyphenyl)methyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 282111-42-6 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

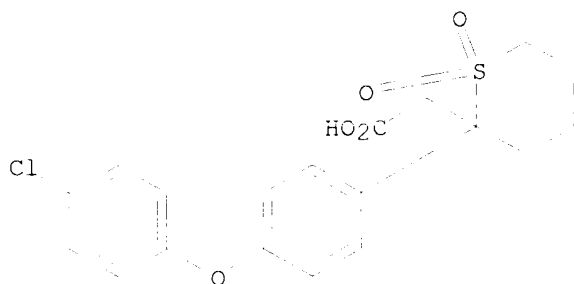
09868894



RN 282111-47-1 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-,  
1,1-dioxide, (+)-(9CI) (CA INDEX NAME)

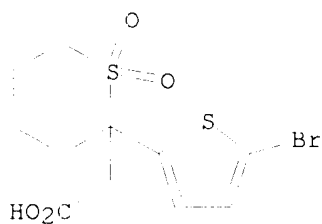
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RN 282111-49-3 CAPLUS

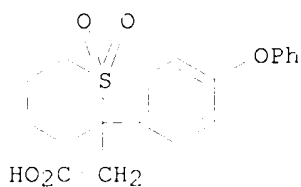
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide,  
(-)-(9CI) (CA INDEX NAME)

Rotation (-).



RN 282111-50-6 CAPLUS

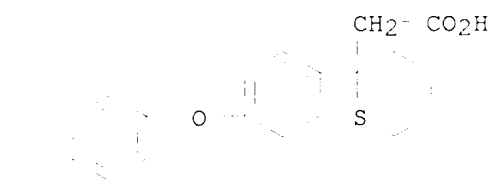
CN 2H-Thiopyran-2-acetic acid, tetrahydro-2-(4-phenoxyphenyl)-, 1,1-dioxide  
(9CI) (CA INDEX NAME)



RN 282111-57-3 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro- (9CI)  
(CA INDEX NAME)

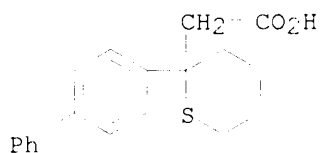
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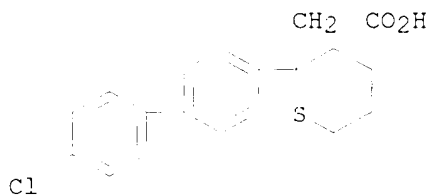
RN 282111-58-4 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-bromophenoxy)phenyl]tetrahydro- (9CI)  
(CA INDEX NAME)



RN 282111-60-8 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[1,1'-biphenyl]-4-yltetrahydro- (9CI) (CA  
INDEX NAME)

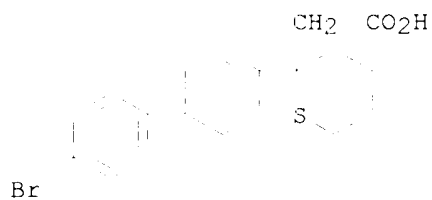


RN 282111-62-0 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-(4'-chloro[1,1'-biphenyl]-4-yl)tetrahydro-  
(9CI) (CA INDEX NAME)

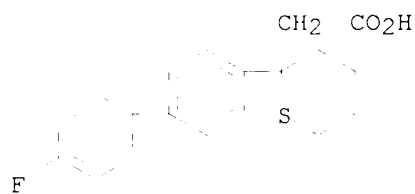


RN 282111-63-1 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-(4'-bromo[1,1'-biphenyl]-4-yl)tetrahydro-  
(9CI) (CA INDEX NAME)

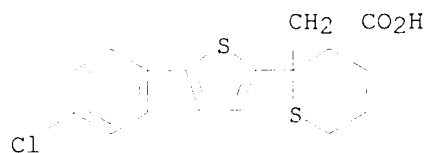
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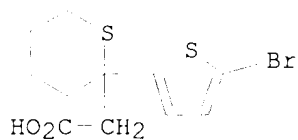
RN 282111-64-2 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-(4'-fluoro[1,1'-biphenyl]-4-yl)tetrahydro-  
(9CI) (CA INDEX NAME)



RN 282111-65-3 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-chlorophenyl)-2-thienyl]tetrahydro-  
(9CI) (CA INDEX NAME)

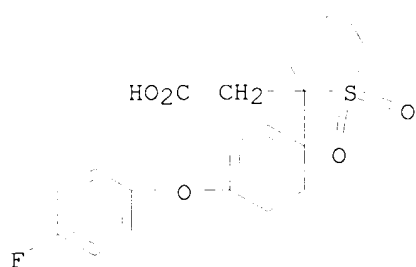


RN 282111-66-4 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro- (9CI) (CA  
INDEX NAME)

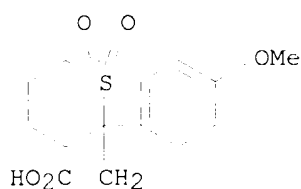


RN 282112-10-1 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-fluorophenoxy)phenyl]tetrahydro-,  
1,1-dioxide (9CI) (CA INDEX NAME)

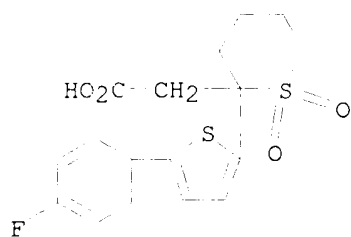
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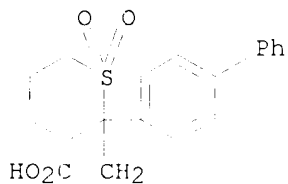
RN 282112-12-3 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, tetrahydro-2-(4-methoxyphenyl)-, 1,1-dioxide  
(9CI) (CA INDEX NAME)



RN 282112-13-4 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro-,  
1,1-dioxide (9CI) (CA INDEX NAME)



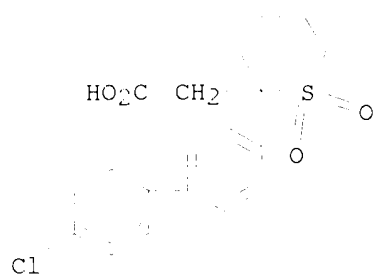
RN 282112-14-5 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[1,1'-biphenyl]-4-yltetrahydro-, 1,1-dioxide  
(9CI) (CA INDEX NAME)



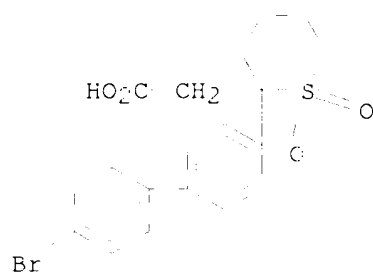
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1,1-dioxide (9CI) (CA INDEX NAME)



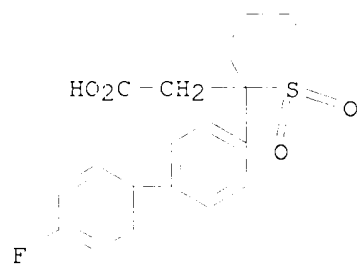
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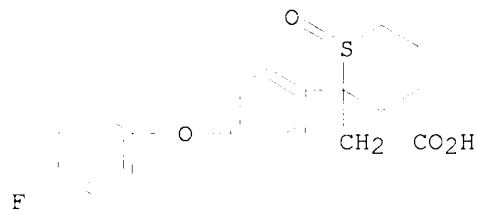
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1,1-dioxide (9CI) (CA INDEX NAME)



RN 282112-17-8 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-(4'-fluoro[1,1'-biphenyl]-4-yl)tetrahydro-,  
1,1-dioxide (9CI) (CA INDEX NAME)



RN 282112-19-0 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-fluorophenoxy)phenyl]tetrahydro-,  
1-oxide (9CI) (CA INDEX NAME)



RN 282112-20-3 CAPLUS  
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-chlorophenyl)-2-thienyl]tetrahydro-,

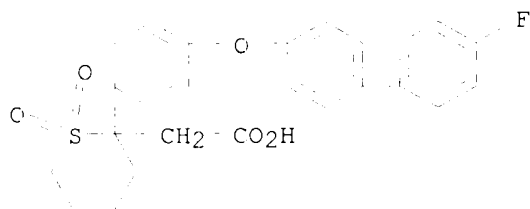
09868894

1,1-dioxide (9CI) (CA INDEX NAME)

<-----User Break----->

RN 282112-22-5 CAPLUS

CN 2H-Thiopyran-2-acetic acid, 2-[4-[(4'-fluoro[1,1'-biphenyl]-4-yl)oxy]phenyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



=> d 14 3-17 ibib abs hitstr

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:424253 CAPLUS

DOCUMENT NUMBER: 129:95355

TITLE: Preparation of ethylidene derivatives of tricyclic carbapenems for use as antibiotics

INVENTOR(S): Copar, Anton; Solmajer, Tomaz; Anzic, Borut; Kuzman, Tadeja; Mesar, Tomaz; Kocjan, Darko

PATENT ASSIGNEE(S): Lek Tovarna Farmaceutskih In Kemicnih Izdelkov, Slovenia; Copar, Anton; Solmajer, Tomaz; Anzic, Borut; Kuzman, Tadeja; Mesar, Tomaz; Kocjan, Darko

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

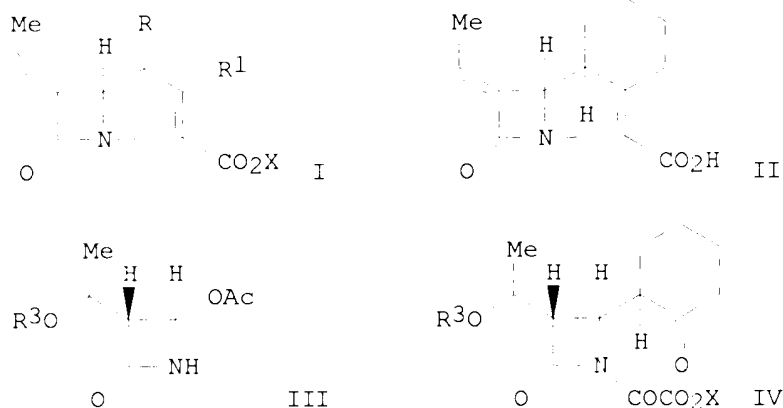
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827094	A1	19980625	WO 1997-SI35	19971218
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9852375	A1	19980715	AU 1998-52375	19971218
EP 946558	A1	19991006	EP 1997-947251	19971218
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2001506258	T2	20010515	JP 1998-527639	19971218
PRIORITY APPLN. INFO.:			SI 1996-371	A 19961218
			WO 1997-SI35	W 19971218
OTHER SOURCE(S):		MARPAT 129:95355		
GI				



AB Tricyclic carbapenems I [RR1 = fused alicyclic or heterocyclic ring; X = H, alkyl, alkali metal, ammonium] were prepd. and pharmaceutical formulations were described for use as inhibitors of the action of the enzyme .beta.-lactamase and as antibiotics in human and veterinary medicine. Thus, carbapenem II was prepd. starting from Azetidon III (R3 = SiMe2CMe3) via the formation and intramol. cyclization of ester IV (R3 = SiMe2CMe3, X = allyl). The prepd. compds. were tested for .beta.-lactamase inhibitory activity.

IT **209536-83-4P 209536-84-5P**

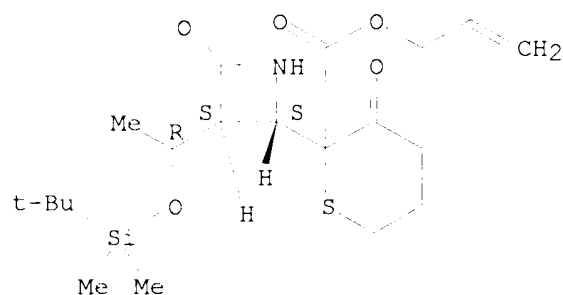
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of ethylidene derivs. of tricyclic carbapenems for use as antibiotics)

RN 209536-83-4 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, 2-[(2S,3S)-3-[(1R)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-4-oxo-2-azetidiny]tetrahydro-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

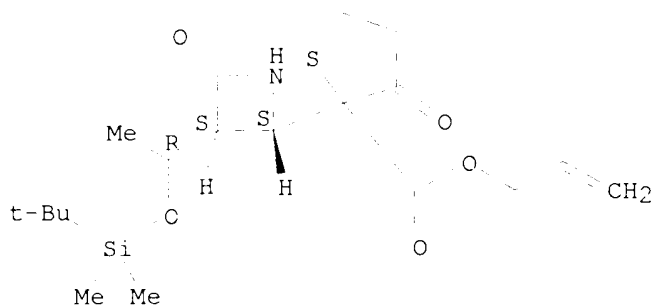


RN 209536-84-5 CAPLUS

CN 2-Thiophenecarboxylic acid, 2-[(2S,3S)-3-[(1R)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-4-oxo-2-azetidiny]tetrahydro-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09868894



L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:638719 CAPLUS

DOCUMENT NUMBER: 127:307323

TITLE: Selective anodic monofluorination of sulfur-containing heterocycles: potent applications towards pharmaceuticals

AUTHOR(S): Fuchigami, Toshio

CORPORATE SOURCE: Dep. Electronic Chem., Tokyo Inst. Tech., Yokohama, 226, Japan

SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1997), 120 & 121, 343-344  
CODEN: PSSLEC; ISSN: 1042-6507

PUBLISHER: Gordon & Breach

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A symposium report with 3 refs. Regioselective anodic monofluorination of 3-thiolanones, 1,3-oxathiolanones, and 1,3-dithiolanones was successfully carried out in MeCN contg. Et<sub>2</sub>N.3HF or Et<sub>4</sub>NF.4HF as a supporting electrolyte. Among the fluorinated products, 2-benzyl-4,4-dimethyl-2-ethoxycarbonyl-5-fluoro-3-thiolanone has comparable or even stronger in vitro human type II phospholipase A<sub>2</sub> inhibitory activity than mancoalide.

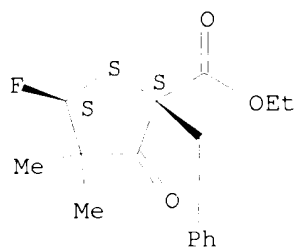
IT 169890-90-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and human type II phospholipase A<sub>2</sub> inhibitory activity of)

RN 169890-90-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-fluorotetrahydro-4,4-dimethyl-3-oxo-2-(phenylmethyl)-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



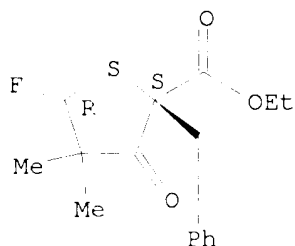
L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:666577 CAPLUS

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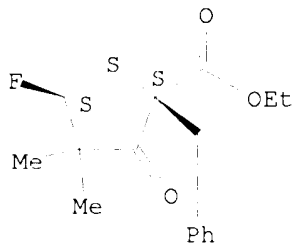
DOCUMENT NUMBER: 123:313666  
TITLE: Electrolytic partial fluorination of organic compounds. 18. Electrosynthesis of 4,4-dimethyl-2-ethoxycarbonyl-5-fluoro-3-thiolanones: highly potent human type II PLA2 inhibitors  
AUTHOR(S): Narizuka, Satoru; Fuchigami, Toshio  
CORPORATE SOURCE: Dep. Electronic Chem., Tokyo Inst. Technol., Yokohama, 226, Japan  
SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(12), 1293-4  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 123:313666  
AB Anodic monofluorination of 2-methyl- and 2-benzyl-4,4-dimethyl-2-ethoxycarbonyl-3-thiolanones was successfully performed to provide the corresponding 5-fluorinated products in good yields. The stereoisomeric mixt. of the fluorinated 2-benzyl compds. was found to possess comparable or even stronger in vitro human type II phospholipase A2 inhibitory activity compared with the known inhibitor, manoalide; the cis isomer exhibited higher activity than the trans isomer.  
IT **169890-89-5P 169890-90-8P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(electrosynthesis of dimethyl(ethoxycarbonyl)fluorothiolanones as human type II PLA2 inhibitors)  
PN 169890-89-5 CAPLUS  
CN 2-Thiophenecarboxylic acid, 5-fluorotetrahydro-4,4-dimethyl-3-oxo-2-(phenylmethyl)-, ethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

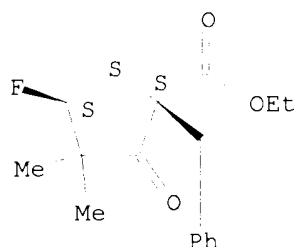


RN 169890-90-8 CAPLUS  
CN 2-Thiophenecarboxylic acid, 5-fluorotetrahydro-4,4-dimethyl-3-oxo-2-(phenylmethyl)-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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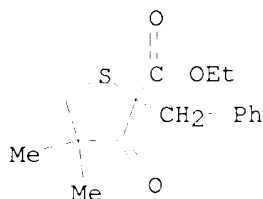


IT 169890-87-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(electrosynthesis of dimethyl(ethoxycarbonyl)fluorothiolanones as human  
type II PLA2 inhibitors)

RN 169890-87-3 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-4,4-dimethyl-3-oxo-2-(phenylmethyl)-  
, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:254446 CAPLUS

DOCUMENT NUMBER: 118:254446

TITLE: Carbocyclic and heterocyclic HIV protease inhibitors

INVENTOR(S): Chenera, Balan; Des Jarlais, Renee Louise; Dreyer,  
Geoffrey Bainbridge

PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

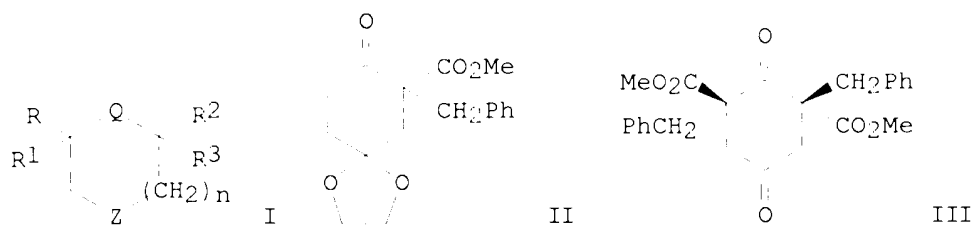
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9221647	A1	19921210	WO 1992-US4705	19920604
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
JP 06508146	T2	19940914	JP 1992-500653	19920604
EP 641306	A1	19950308	EP 1992-914474	19920604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
PRIORITY APPLN. INFO.:		US 1991-710734	19910604	
		WO 1992-US4705	19920604	
OTHER SOURCE(S):		MARPAT 118:254446		
GI				



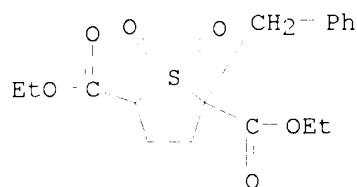
AB The heterocyclic and carbocyclic compds. I [R, R3 = HO, (CHR4)mCOR5, (CHR4)mCH(OH)R4, R4; R1, R2 = H, C1-8-alkyl, Het, C3-10-cycloalkyl, Het-C1-8-alkyl, C2-8-alkenyl, Het-C2-8-alkenyl, C3-10-cycloalkyl-C1-8-alkyl, C3-10-cycloalkyl-C2-8-alkenyl; R4 = R1 or substituted R1; R5 = H, HO, alkoxy, R1, amino, etc.; Z = CH2, CHOH, aminomethylene, S, SO, SO2, SONH, O, CO, substituted imino, etc.; Q = CHOH, S, SO, SO2; m = 0, 1, 2; n = 0, 1] and pharmaceutical acceptable salts were prepd. as HIV protease inhibitors and are useful in treatment of aids. Thus, 4,4-ethylenedioxycyclohexanone nucleophilic underwent addn. with NCCO2Me followed by benzylation with PhCH2Br to give cyclohexanone II, which was similarly carboxylated and benzylation followed by hydrolysis to give the cyclohexanedione III.

IT **147838-72-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and benzylation of)

RN 147838-72-0 CAPLUS

CN Hexaric acid, 2,3,4,5-tetradeoxy-2,5-episulfonyl-2-C-(phenylmethyl)-, diethyl ester (9CI) (CA INDEX NAME)

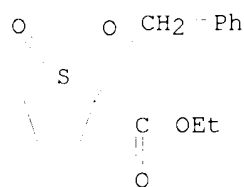


IT **147838-71-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and ethoxycarbonylation of)

RN 147838-71-9 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-2-(phenylmethyl)-, ethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



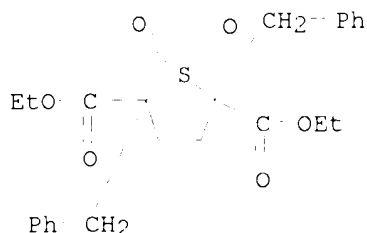
IT **147838-73-1P**

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and redn. of)

FN 147838-73-1 CAPLUS

CN Hexaric acid, 2,3,4,5-tetradecoxy-2,5-episulfonyl-2,5-bis-C-(phenylmethyl)-  
, diethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:124354 CAPLUS

DOCUMENT NUMBER: 118:124354

TITLE: A hetero Diels-Alder approach to novel thiopyran  
analogs of aprikalim, a potassium channel activator  
AUTHOR(S): Pinto, Ivan L.; Buckle, Derek R.; Rami, Harshad K.;  
Smith, David G.

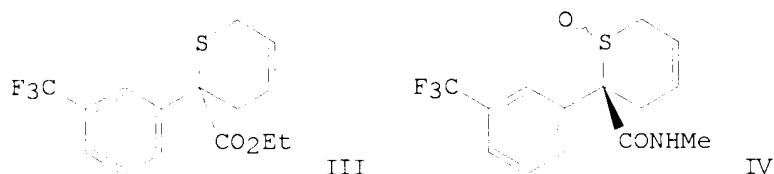
CORPORATE SOURCE: SmithKline Beecham Pharm., Epsom/Surrey, KT18 5XQ, UK  
SOURCE: Tetrahedron Letters (1992), 33(49), 7597-600  
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 118:124354

GI



AB .alpha.-Thioketo ester 3-F3CC6H4C(S)CO2Et (I), derived from Bunte salt  
2-F3CC6H4C(SSO3Na)CO2Et (II), has been shown to undergo a hetero  
Diels-Alder reaction with a variety of dienes to form the basis of a  
concise synthesis of dihydrothiopyran analogs of the potassium channel  
activator aprikalim. Thus, reacting II with NEt3/CaCl2/EtOH generated I  
which reacted with H2C:CHCH:CH2 to give thiopyran deriv. III which was  
converted in 4 steps to aprikalim analogs IV.

IT 146138-09-2P

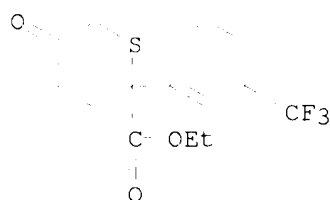
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

FN 146138-09-2 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-5-oxo-2-[3-  
(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



09868894



L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:591643 CAPLUS

DOCUMENT NUMBER: 117:191643

TITLE: Synthesis and biological activity of  
trans-(+)-N-methyl-2-(3-pyridyl)-2-  
tetrahydrothiopyrancarbothioamide 1-oxide (RP 49356)  
and analogs: a new class of potassium channel opener  
AUTHOR(S): Brown, Thomas J.; Chapman, Robert F.; Cook, David C.;  
Hart, Terance W.; McLay, Iain M.; Jordan, Roy; Mason,  
Jonathan S.; Palfreyman, Malcolm N.; Walsh, Roger J.  
A.; et al.

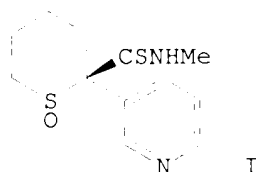
CORPORATE SOURCE: Dagenham Res. Cent., Rhone-Poulenc Rorer,  
Dagenham/Essex, RM10 7XS, UK

SOURCE: Journal of Medicinal Chemistry (1992), 35(20), 3613-24  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB The synthesis and biol. activity of trans-(+)-N-methyl-2-(3-pyridyl)-2-tetrahydrothiopyrancarbothioamide 1-oxide (I), (RP 49356) and analogs is reported. Thus, I was prepd. from 3-(chloromethyl)pyridine-HCl via oxidn. and cyclization of 4-chlorobutyl 3-pyridylmethyl sulfide to 2-(3-pyridyl)tetrahydrothiopyran 1-oxide. These compds. constitute a new structural class of K<sup>+</sup>-channel opener. The effects of changes in the pyridyl group, thioamide, and thiane ring on in vitro K<sup>+</sup>-channel opening activity are discussed. A 3-pyridyl or 3-quinolyl group, a small N-alkyl thioamide function, and a thiane oxide ring, in which the sulfoxide is in a trans relationship to the thioamide, are preferred for activity. Selected compds. were tested i.v. in the normotensive anesthetized rat for hypotensive effects, and the activities reflect their in vitro K<sup>+</sup>-channel opening activity. This led to further evaluation of compd. I and the selection of the (-)-enantiomer (RP 52891) for development as an antihypertensive and antianginal agent.

IT **143619-71-0P 143620-01-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and amidation of)

RN 143619-71-0 CAPLUS

RN 143620-01-3 CAPLUS

L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1991:535923 CAPLUS

DOCUMENT NUMBER: 115:135923

TITLE: Preparation of (1R,2R)-2-(3-pyridyl)tetrahydrothiopyran-2-thiocarboxamide-1-oxides

INVENTOR(S): Aloup, Jean Claude; James, Claude; Margraff, Rodolphe

PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.

SOURCE: Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

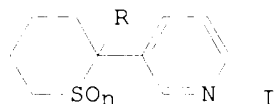
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 426557	A1	19910508	EP 1990-403061	19901030
EP 426557	B1	19950222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2653770	A1	19910503	FR 1989-14273	19891031
FR 2653770	B1	19920103		
AU 9065548	A1	19910509	AU 1990-65548	19901029
AU 641950	B2	19931007		
PL 164269	B1	19940729	PL 1990-287557	19901029
IL 96160	A1	19951231	IL 1990-96160	19901029
CA 2028985	AA	19910501	CA 1990-2028985	19901030
NO 9004708	A	19910502	NO 1990-4708	19901030
NO 177707	B	19950731		
NO 177707	C	19951108		
ZA 9008679	A	19910828	ZA 1990-8679	19901030
HU 59397	A2	19920528	HU 1990-6945	19901030
HU 212501	B	19960729		
SU 1838311	A3	19930830	SU 1990-4831525	19901030
ES 2068361	T3	19950416	ES 1990-403061	19901030
JP 03153684	A2	19910701	JP 1990-292249	19901031
US 5120852	A	19920609	US 1990-607003	19901031
PRIORITY APPLN. INFO.:			FR 1989-14273	19891031

OTHER SOURCE(S): MARPAT 115:135923

GI



AB The title compds. [(1R,2R)-I; R = CSNHR<sub>1</sub>; R<sub>1</sub> = C<sub>1</sub>-4 alkyl; n = 1] (II) were prepd. by oxidn. of I (R = H, n = 0) (III) and condensation of the product with R<sub>1</sub>NCS. Thus, (R,S)-III (prepn. given) was stirred 20 h at 20.degree. with cumyl hydroperoxide in aq. CH<sub>2</sub>Cl<sub>2</sub> contg. di-Et (+)-tartrate and Ti(OCHMe<sub>2</sub>)<sub>4</sub> to give, as 1 of 3 products, (1R,2R)-I (R = H, n = 1) which was stirred 10 min at -40 to -35.degree. with MeNCS in liq. NH<sub>3</sub> contg. NaNH<sub>2</sub> to give II (R<sub>1</sub> = Me).

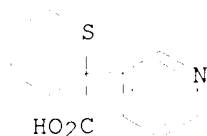
IT **86372-47-6**

RL: RCT (Reactant); RACT (Reactant or reagent)

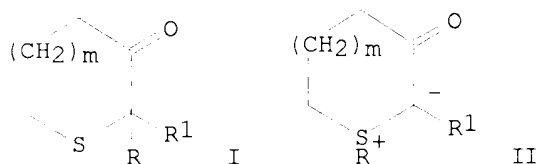
(reaction of, in prepn. of pyridyltetrahydrothiopyranthiocarboxamide oxide)

09868894

RN 86372-47-6 CAPLUS  
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

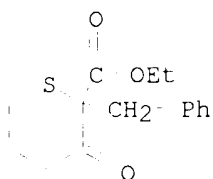


L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1991:42500 CAPLUS  
DOCUMENT NUMBER: 114:42500  
TITLE: Rhodium carbenoid mediated cyclizations. Part 5. Synthesis and rearrangement of cyclic sulfonium ylides; preparation of 6- and 7-membered sulfur heterocycles  
AUTHOR(S): Moody, Christopher J.; Taylor, Roger J.  
CORPORATE SOURCE: Dep. Chem., Imp. Coll. Sci., Technol. Med., London, SW7 2AY, UK  
SOURCE: Tetrahedron (1990), 46(18), 6501-24  
CODEN: TETRAB; ISSN: 0040-4020  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 114:42500  
GI



AB The Rh<sub>2</sub>(OAc)<sub>4</sub>-catalyzed cyclization of 1,5- and 1,6-diazosulfides RS(CH<sub>2</sub>)<sub>n</sub>COC(:N<sub>2</sub>)R<sub>1</sub> (R = H, CH<sub>2</sub>Ph, allyl, CH:CHCMe<sub>2</sub>, (E)-CH<sub>2</sub>CH:CHPh, R<sub>1</sub> = CO<sub>2</sub>Et, COMe, n = 3, 4) gave thianes I (m = 1) and thiepanes I (m = 2) via cyclic sulfonium ylides II, which in some cases, e.g., II (R = CH<sub>2</sub>PhEt, R<sub>1</sub> = CO<sub>2</sub>Et, m = 1) could be isolated.  
IT **120571-42-8P 120571-46-2P 120571-47-3P**  
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
RN 120571-42-8 CAPLUS  
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

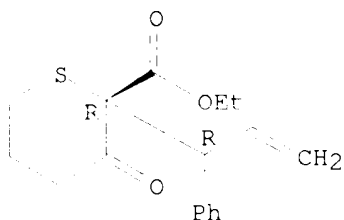
09868894



RN 120571-46-2 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(1-phenyl-2-propenyl)-, ethyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

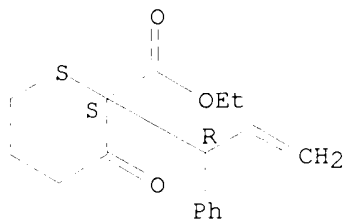
Relative stereochemistry.



RN 120571-47-3 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(1-phenyl-2-propenyl)-, ethyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1989:496537 CAPLUS

DOCUMENT NUMBER: 111:96537

TITLE: Captodative substituent effects. 48. Spin delocalization in heterocyclic captodative radicals  
AUTHOR(S): Nootens, C.; Merenyi, R.; Janousek, Z.; Viehe, H. G.  
CORPORATE SOURCE: Lab. Org. Chem., Univ. Louvain, Louvain-la-Neuve, 1348, Belg.

SOURCE: Bull. Soc. Chim. Belg. (1988), 97(11-12), 1045-54  
CODEN: BSCBAG; ISSN: 0037-9646

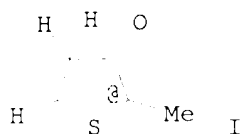
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:96537

GI

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AB ESR of captodative radicals (e.g. I) are measured. Spin delocalization can be derived from different types of hyperfine coupling consts. Synthesis of radical precursors are described.

IT 122096-44-0P 122096-45-1P 122096-47-3P

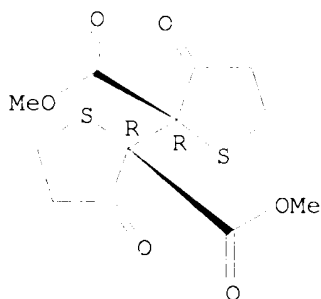
122096-52-0P

RI: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 122096-44-0 CAPLUS

CN [2,2'-Bithiophene]-2,2'(3H,3'H)-dicarboxylic acid, tetrahydro-3,3'-dioxo-, dimethyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

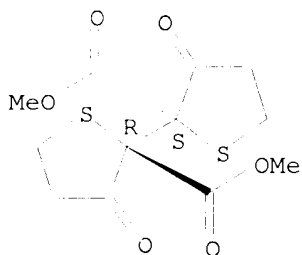
Relative stereochemistry.



RN 122096-45-1 CAPLUS

CN [2,2'-Bithiophene]-2,2'(3H,3'H)-dicarboxylic acid, tetrahydro-3,3'-dioxo-, dimethyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

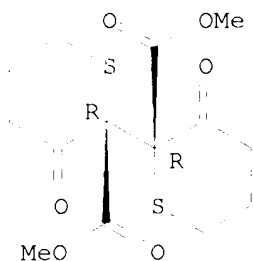


RN 122096-47-3 CAPLUS

CN [2,2'-Bi-2H-thiopyran]-2,2'-dicarboxylic acid, octahydro-3,3'-dioxo-, dimethyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

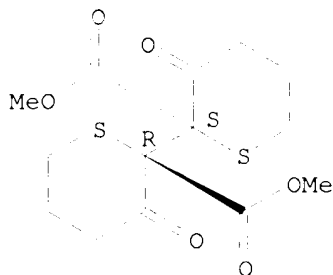
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RN 1:2096-52-0 CAPLUS

CN [2,2'-Bi-2H-thiopyran]-2,2'-dicarboxylic acid, octahydro-3,3'-dioxo-, dimethyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1989:212554 CAPLUS

DOCUMENT NUMBER: 110:212554

TITLE: Rhodium carbenoid-mediated cyclizations. Synthesis and rearrangement of cyclic sulfonium ylides

AUTHOR(S): Moody, Christopher J.; Taylor, Roger J.

CORPORATE SOURCE: Dep. Chem., Imperial Coll. Sci., Technol. + Med., London, SW7 2AY, UK

SOURCE: Tetrahedron Lett. (1988), 29(46), 6005-8

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:212554

GI



AB Treatment of diazo sulfides with  $\text{Rh}_2(\text{OAc})_4$  in  $\text{C}_6\text{H}_6$  gives 6- and 7-membered cyclic sulfonium ylides; although S-benzyl and S-Et ylides can be isolated, they rearrange, or eliminate  $\text{C}_2\text{H}_4$ , resp., on heating; the S-allyl ylides cannot be isolated since they undergo spontaneous [2,3]-sigmatropic rearrangement. Thus, decompn. of  $\text{RS}(\text{CH}_2)_3\text{COC}(\text{:N}_2)\text{CO}_2\text{Et}$  (I; R =  $\text{PhCH}_2$ , Et) give cyclic ylides II, which rearrange to thiopyrans

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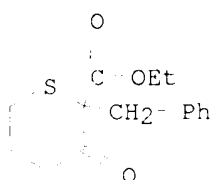
III (R = PhCH<sub>2</sub>, H, resp.), upon heating. I (R = allyl), however, gives III (R = allyl) directly.

IT **120571-42-8P 120571-46-2P 120571-47-3P**

RI: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 120571-42-8 CAPLUS

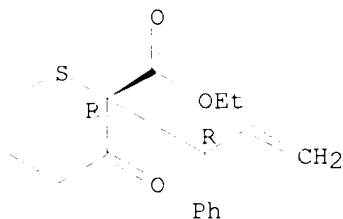
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 120571-46-2 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(1-phenyl-2-propenyl)-, ethyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

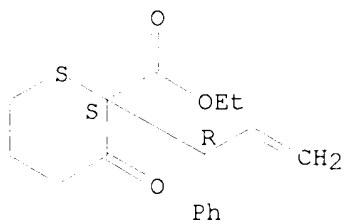
Relative stereochemistry.



RN 120571-47-3 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(1-phenyl-2-propenyl)-, ethyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1988:549494 CAPLUS

DOCUMENT NUMBER: 109:149494

TITLE: A Wittig type rearrangement of 2-methoxycarbonyl-2-phenyl-1,3-dithiane and 2,2-diphenyl-1,3-dithiepane

AUTHOR(S): Inoue, Yoshihiko; Tanimoto, Shigeo

CORPORATE SOURCE: Inst. Chem. Res., Kyoto Univ., Uji, 611, Japan

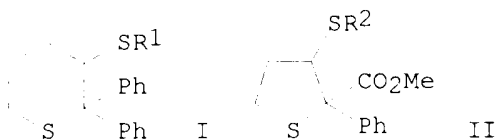
SOURCE: Bull. Inst. Chem. Res., Kyoto Univ. (1987), 65(3), 121-4

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CODEN: BICRAS; ISSN: 0023-6071

DOCUMENT TYPE:  
LANGUAGE:  
GI

Journal  
English



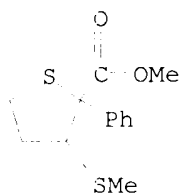
AB The title dithiepane was treated with  $\text{LiN}(\text{CHMe}_2)_2$  and  $\text{RI}$  ( $\text{R1} = \text{Me, Et}$ ) to give tetrahydrothiopyranyl sulfides I. Thiophanes II ( $\text{R2} = \text{C1-4 alkyl}$ ) were obtained from a disubstituted 1,3-dithiane deriv. and alkyl iodides and  $\text{BuBr}$ .

IT **116690-60-9P 116690-61-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

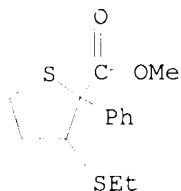
RN 116690-60-9 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-3-(methylthio)-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 116690-61-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-(ethylthio)tetrahydro-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1988:186601 CAPLUS

DOCUMENT NUMBER: 108:186601

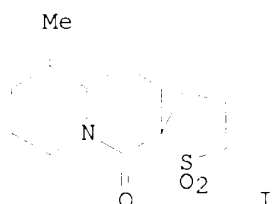
TITLE: Spiro derivatives of tetrahydrothiophene. Phase transfer catalyzed alkylation of the 2-substituted tetrahydrothiophene system and the synthesis of spiro quinolizidine derivative

AUTHOR(S): Wrobel, Jerzy T.; Hejchman, Elzbieta



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CORPORATE SOURCE: Chem. Dep., Warsaw Univ., Warsaw, 02-093, Pol.  
SOURCE: Bull. Pol. Acad. Sci., Chem. (1987), 35(1-2), 21-9  
CODEN: BFACEQ  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

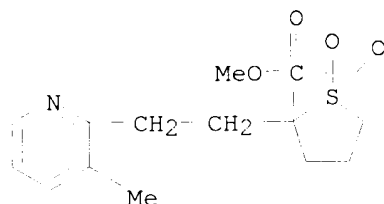


AB The phase transfer catalyzed alkylation of 2-carbomethoxy- and 2-cyanotetrahydrothiophene, their sulfoxides, and sulfones is described. Key spiro deriv. I of quinolizidine was obtained from 2-(2-bromoethyl)-3-methylpyridine in three steps. Two stereoisomers of I were sepd. and characterized.

IT **113990-96-8P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and cyclization of)

RN 113990-96-8 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-2-[2-(3-methyl-2-pyridinyl)ethyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1988:37629 CAPLUS

DOCUMENT NUMBER: 108:37629

TITLE: Spiro derivatives of tetrahydrothiophene. Synthesis of the quinolizidine .ltbbrac.3-spiro-2'.rtbbrac.tetrahydrothiophene system using solid/liquid or liquid/liquid phase-transfer catalysis

AUTHOR(S): Wrobel, Jerzy T.; Hejchman, Elzbieta

CORPORATE SOURCE: Dep. Chem., Univ. Warsaw, Warsaw, PL-02-093, Pol.

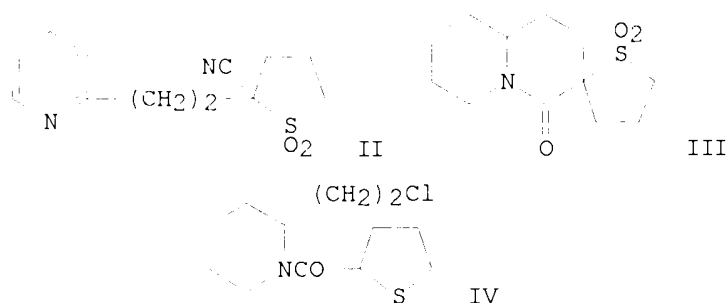
SOURCE: Synthesis (1987), (5), 452-5  
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 108:37629

GI



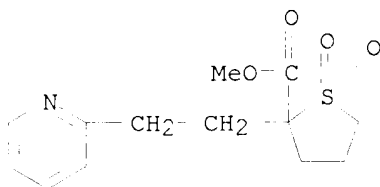
AB S-Cyanomethylation of  $\text{Cl}(\text{CH}_2)_3\text{SH}$  with  $\text{ClCH}_2\text{CN}$  gave 84%  $\text{Cl}(\text{CH}_2)_3\text{SCH}_2\text{CN}$  which was cyclized with aq.  $\text{NaOH}$  in the presence of  $\text{PhCH}_2\text{NEt}_3+\text{Cl}^-$  to give 2-cyanotetrahydrothiophene (I) in 80% yield. Oxidn. of I with  $\text{H}_2\text{O}_2$  in the presence of  $\text{WO}_3$  gave its S, S-dioxide, which was deprotonated and alkylated with 2-(2-bromomethyl)pyridine to give II. Hydrolysis, esterification, hydrogenation, and cyclization gave the title spiro compd. III as a mixt. of stereoisomers. Hydrolysis of I, acid chloride formation, and condensation with 2-(2-chloroethyl)piperidine gave carboxamide IV. S-Oxidn. and cyclization under phase transfer conditions gave III as a single stereoisomer.

IT **112212-97-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn., hydrogenation, and cyclization of)

RN 112212-97-2 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-2-[2-(2-pyridinyl)ethyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1983:453605 CAPLUS

DOCUMENT NUMBER: 99:53605

TITLE: Heterocyclic nitriles and their use for preparing medicines

INVENTOR(S): Aloup, Jean Claude; Bouchaudon, Jean; Farge, Daniel; James, Claude

PATENT ASSIGNEE(S): Rhone-Poulenc Industries, Fr.

SOURCE: Fr. Demande, 25 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

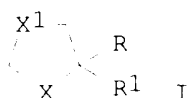
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2511371	A1	19830218	FR 1981-15527	19810811

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FR 2511371	B1	19840427		
EP 73704	A1	19830309	EP 1982-401501	19820806
EP 73704	B1	19861112		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 23530	E	19861115	AT 1982-401501	19820806
DK 8203594	A	19830212	DK 1982-3594	19820810
DK 158949	B	19900806		
DK 158949	C	19910311		
JP 58038281	A2	19830305	JP 1982-138063	19820810
JP 03000394	B4	19910107		
AU 8287022	A1	19830512	AU 1982-87022	19820810
ZA 8205798	A	19830629	ZA 1982-5798	19820810
HU 30055	O	19840228	HU 1982-2584	19820810
HU 190029	B	19860828		
US 4456758	A	19840626	US 1982-406998	19820810
CA 1206149	A1	19860617	CA 1982-409078	19820810
FI 8202801	A	19830212	FI 1982-2801	19820811
ES 514906	A1	19830416	ES 1982-514906	19820811
PRIORITY APPLN. INFO.:			FR 1981-15527	19810811
			EP 1982-401501	19820806

OTHER SOURCE(S): CASREACT 99:53605

GI



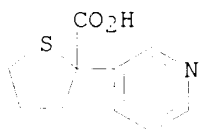
AB Nitriles I (X = O, S; X1 = S, CH2, CH2CH2; R = cyano; R1 = N heterocyclic) were prepd. as intermediates for antihypertensive (no data) I (R = thiocarbamoyl). Thus 3-pyridylacetonitrile was treated with Br(CH2)3SCN to give I (X = S, X1 = CH2, R = cyano, R1 = 3-pyridyl) which was hydrolyzed to the acid, converted to the acid chloride, amidated with MeNH2, and thiolated with Lawesson's reagent to give I (X = S, X1 = CH2, R = CSNHMe, R1 = 3-pyridyl).

IT **86372-40-9P 86372-47-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and chlorination of)

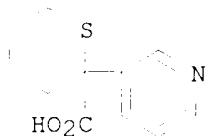
RN 86372-40-9 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 86372-47-6 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1968:428568 CAPLUS

DOCUMENT NUMBER: 69:28568

TITLE: Theoretical and spectroscopic studies of indigo dyes.  
VII. Preparation of 3,3'-dioxo-4,4,4',4'-tetramethyl-2,2'-bithiolanylidene, a compound with the basic chromophore system of thioindigo dyes

AUTHOR(S): Hermann, Heinrich; Luettke, Wolfgang

CORPORATE SOURCE: Univ. Goettingen, Goettingen, Ger.

SOURCE: Chem. Ber. (1968), 101(5), 1708-14

CODEN: CHBEAM

DOCUMENT TYPE: Journal

LANGUAGE: German

GI For diagram(s), see printed CA Issue.

AB The treatment of  $\text{ClCOCH}_2\text{SCH}_2\text{CMe}_2\text{COCl}$  with  $\text{tert-BuOH}$  in pyridine gave 4,4-dimethyl-2-tert-butoxycarbonylthiolan-3-one (I,  $\text{R} = \text{CO}_2\text{CMe}_3$ ), which reacted with  $\text{K}_3\text{Fe}(\text{CN})_6$  in  $\text{CF}_3\text{CO}_2\text{H}$  to give 3,3'-dioxo-4,4,4',4'-tetramethyl-trans-2,2'-bithiolanylidene (II) via 3,3'-dioxo-4,4,4',4'-tetramethyl-2,2'-bis-tert-butoxycarbonyl-2,2'-bithiolanyl and 3,3'-dioxo-4,4,4',4'-tetramethyl-2,2'-bithiolanyl. II was also prepd. by the dehydrodimerization of I ( $\text{R} = \text{H}$ ).

IT **20048-22-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 20048-22-0 CAPLUS

CN [2,2'-Bithiophene]-2,2'-(3H,3'H)-dicarboxylic acid, tetrahydro-4,4,4',4'-tetramethyl-3,3'-dioxo-, di-tert-butyl ester (8CI) (CA INDEX NAME)

